

wherein

R<sup>1</sup> and R<sup>2</sup> independently represent H, C<sub>1-4</sub> alkyl, OR<sup>2b</sup> or N(R<sup>2c</sup>)R<sup>2d</sup>, or

together form -O-(CH<sub>2</sub>)<sub>2</sub>-O-, -(CH<sub>2</sub>)<sub>3</sub>-, -(CH<sub>2</sub>)<sub>4</sub>- or -(CH<sub>2</sub>)<sub>5</sub>-;

R<sup>2b</sup>, R<sup>2c</sup> and R<sup>2d</sup> independently represent H or C<sub>1-6</sub> alkyl;

R<sup>3</sup> represents H, C<sub>1-6</sub> alkyl or, together with R<sup>4</sup>, represents C<sub>3-6</sub> alkylene

(which alkylene group is optionally interrupted by an O atom and/or is optionally substituted by one or more C<sub>1-3</sub> alkyl groups);

R<sup>4</sup> represents H, C<sub>1-12</sub> alkyl, C<sub>1-6</sub> alkoxy (which latter two groups are both optionally substituted and/or terminated by one or more substituents selected from -OH, halo, cyano, nitro, C<sub>1-4</sub> alkyl and/or C<sub>1-4</sub> alkoxy), -(CH<sub>2</sub>)<sub>q</sub>-aryl, -(CH<sub>2</sub>)<sub>q</sub>-oxyaryl, -(CH<sub>2</sub>)<sub>q</sub>-Het<sup>1</sup> (which latter three groups are optionally substituted (at the -(CH<sub>2</sub>)<sub>q</sub>- part and/or the aryl/Het<sup>1</sup> part) by one or more substituents selected from -OH, halo, cyano, nitro, -C(O)R<sup>10</sup>, -C(O)OR<sup>11</sup>, -N(H)S(O)<sub>2</sub>R<sup>11a</sup>, C<sub>1-6</sub> alkyl and/or C<sub>1-6</sub> alkoxy), -(CH<sub>2</sub>)<sub>q</sub>N(H)C(O)R<sup>8</sup>, -(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>2</sub>R<sup>8</sup>, -(CH<sub>2</sub>)<sub>q</sub>C(O)R<sup>8</sup>,

$-(CH_2)_qC(O)OR^8$ ,  $-(CH_2)_qC(O)N(R^9)R^8$  or, together with  $R^3$ , represents  $C_{3.6}$  alkylene (which alkylene group is optionally interrupted by an O atom and/or is optionally substituted by one or more  $C_{1.3}$  alkyl groups);

q represents 0, 1, 2, 3, 4, 5 or 6;

$R^8$  represents H,  $C_{1.6}$  alkyl, aryl (which latter group is optionally substituted and/or terminated by one or more substituents selected from  $-OH$ , halo, cyano, nitro,  $-C(O)R^{10}$ ,  $-C(O)OR^{11}$ ,  $-N(H)S(O)_2R^{11a}$ ,  $C_{1.6}$  alkyl and/or  $C_{1.6}$  alkoxy) or, together with  $R^9$ , represents  $C_{3.7}$  alkylene;

$R^9$  represents H,  $C_{1.4}$  alkyl or, together with  $R^8$ , represents  $C_{3.7}$  alkylene;

$Het^1$  represents a five to twelve-membered heterocyclic ring containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, and which also optionally includes one or more  $=O$  substituents;

$R^{41}$ ,  $R^{42}$ ,  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$  or  $R^{46}$  independently represent H or  $C_{1.3}$  alkyl;

$R^5$  represents H, halo,  $C_{1.3}$  alkyl,  $-OR^{12}$ ,  $-N(R^{13})R^{12}$  or, together with  $R^6$ , represents  $=O$ ;

$R^6$  represents H,  $C_{1.4}$  alkyl or, together with  $R^5$ , represents  $=O$ ;

$R^{12}$  represents H,  $C_{1.6}$  alkyl,  $-S(O)_2-C_{1.4}-alkyl$ ,  $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)N(R^{15})R^{15a}$  or aryl (which latter group is optionally substituted and/or

terminated by one or more substituents selected from -OH, halo, cyano, nitro, -C(O)R<sup>10</sup>, -C(O)OR<sup>11</sup>, -N(H)S(O)<sub>2</sub>R<sup>11a</sup>, C<sub>1-6</sub> alkyl and/or C<sub>1-6</sub> alkoxy);

R<sup>13</sup> represents H or C<sub>1-4</sub> alkyl;

R<sup>14</sup> represents H or C<sub>1-6</sub> alkyl;

R<sup>15</sup> and R<sup>15a</sup> independently represent H or C<sub>1-4</sub> alkyl, or together represent C<sub>3-6</sub> alkylene, optionally interrupted by an O atom;

A represents a single bond, C<sub>1-6</sub> alkylene, -N(R<sup>16</sup>)(CH<sub>2</sub>)<sub>r</sub>- or -O(CH<sub>2</sub>)<sub>r</sub>- (in which two latter groups, the -(CH<sub>2</sub>)<sub>r</sub>- group is attached to the bispidine nitrogen atom);

B represents a single bond, C<sub>1-4</sub> alkylene, -(CH<sub>2</sub>)<sub>n</sub>N(R<sup>17</sup>)-, -(CH<sub>2</sub>)<sub>n</sub>S(O)<sub>p</sub>-, -(CH<sub>2</sub>)<sub>n</sub>O- (in which three latter groups, the -(CH<sub>2</sub>)<sub>n</sub>- group is attached to the carbon atom bearing R<sup>5</sup> and R<sup>6</sup>), -C(O)N(R<sup>17</sup>)- (in which latter group, the -C(O)- group is attached to the carbon atom bearing R<sup>5</sup> and R<sup>6</sup>), -N(R<sup>17</sup>)C(O)O(CH<sub>2</sub>)<sub>n</sub>- , -N(R<sup>17</sup>)(CH<sub>2</sub>)<sub>n</sub>- (in which two latter groups, the N(R<sup>17</sup>) group is attached to the carbon atom bearing R<sup>5</sup> and R<sup>6</sup>) or -(CH<sub>2</sub>)<sub>m</sub>C(H)(OH)(CH<sub>2</sub>)<sub>n</sub>- (in which latter group, the -(CH<sub>2</sub>)<sub>m</sub>- group is attached to the carbon atom bearing R<sup>5</sup> and R<sup>6</sup>);

m represents 1, 2 or 3;

n and r independently represent 0, 1, 2, 3 or 4;

p represents 0, 1 or 2;

R<sup>16</sup> and R<sup>17</sup> independently represent H or C<sub>1-4</sub> alkyl;

R<sup>7</sup> represents C<sub>1-6</sub> alkyl, aryl or Het<sup>2</sup>, all of which groups are optionally substituted and/or terminated (as appropriate) by one or more substituents selected from -OH, cyano, halo, amino, nitro, Het<sup>3</sup>, -C(O)R<sup>10</sup>, C(O)OR<sup>11</sup>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, -N(H)S(O)<sub>2</sub>R<sup>18</sup>, -S(O)<sub>2</sub>R<sup>19</sup>, -OS(O)<sub>2</sub>R<sup>20</sup>, -N(H)C(O)N(H)R<sup>21</sup>, -C(O)N(H)R<sup>22</sup> and/or aryl (which latter group is optionally substituted by one or more cyano groups);

Het<sup>2</sup> and Het<sup>3</sup> independently represent a five to twelve-membered heterocyclic group containing one or more heteroatoms selected from oxygen, nitrogen and/or sulfur, and which also optionally includes one or more =O substituents;

R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> independently represent C<sub>1-6</sub> alkyl;

R<sup>21</sup> and R<sup>22</sup> independently represent H or C<sub>1-6</sub> alkyl (optionally terminated by cyano); and

R<sup>10</sup> and R<sup>11</sup> independently represent, at each individual occurrence, H or C<sub>1-6</sub> alkyl;

R<sup>11a</sup> represents, at each individual occurrence, C<sub>1-6</sub> alkyl;

or a salt or solvate thereof;

provided that:

(a) when A and B are both single bonds and R<sup>7</sup> is optionally substituted aryl, then R<sup>5</sup> and R<sup>6</sup> do not both represent H;

C1 (b) when A represents a single bond, then  $R^{\circ}$  and  $R^{\circ}$  do not together represent =O; and

(c) when  $R^5$  represents  $\cdot OR^{12}$  or  $\cdot N(R^{13})R^{12}$ , then:-

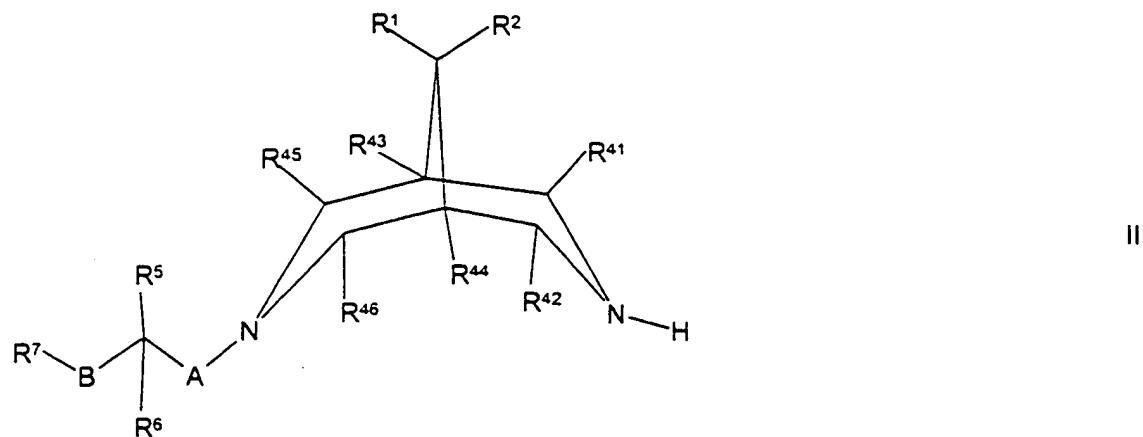
(i) A does not represent  $\cdot\text{N}(\text{R}^{16})(\text{CH}_2)_r\cdot$  or  $\cdot\text{O}(\text{CH}_2)_r\cdot$ ; and/or

(ii) n does not represent 0 when B represents  $-(CH_2)_nN(R^{17})-$ ,  $-(CH_2)_nS(O)_p-$  or  $-(CH_2)_nO-$ .

25. (Amended) A process for the preparation of a compound of formula I

as defined in Claim 1 which comprises:

(a) for compounds of formula I in which  $R^3$  is H, reaction of a compound of formula II,



wherein  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{41}$ ,  $R^{42}$ ,  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ , A and B are as

defined in Claim 1 with a compound of formula III,



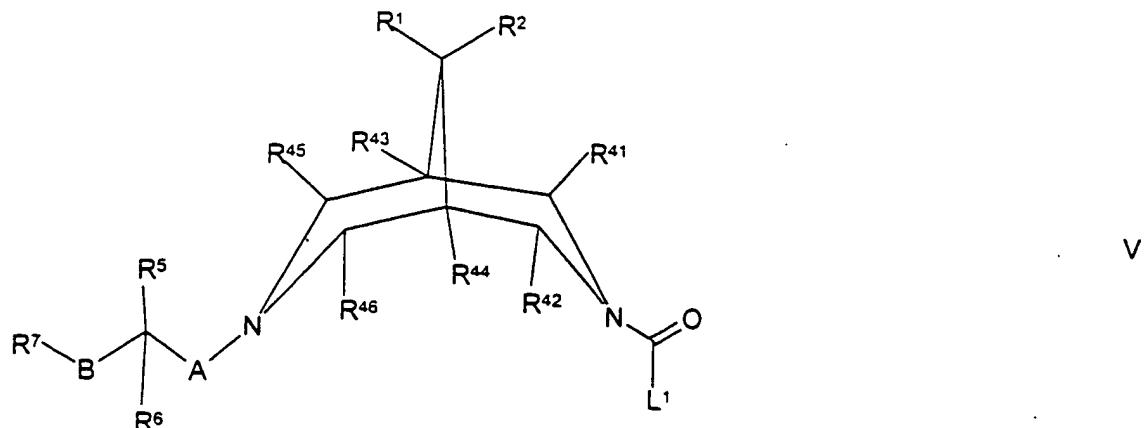
wherein  $R^4$  is as defined in Claim 1;

(b) reaction of a compound of formula II, as defined above, with a carbonic acid derivative of formula IV,

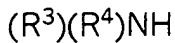


wherein  $L^1$  represents a leaving group and  $R^3$  and  $R^4$  are as defined in Claim 1;

(c) reaction of a compound of formula V,



wherein and  $L^1$  is as defined above and  $R^1$ ,  $R^2$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{41}$ ,  $R^{42}$ ,  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ , A and B are as defined in Claim 1, with a compound of formula VA,

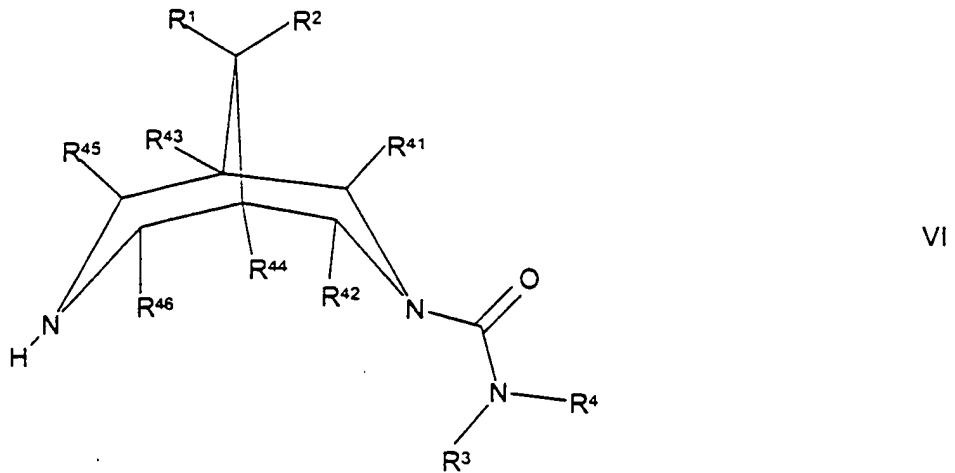


VA

wherein  $R^3$  and  $R^4$  are as defined in Claim 1;

(d) for compounds of formula I in which A represents  $CH_2$  and  $R^5$  represents  $\cdot OH$  or  $\cdot N(H)R^{12}$ , reaction of a compound of formula VI,

C<sup>2</sup>

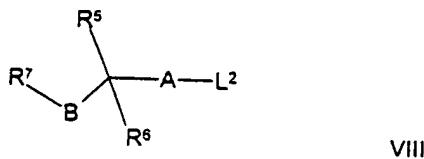


wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^{41}$ ,  $R^{42}$ ,  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$  and  $R^{46}$  are as defined in Claim 1, with a compound of formula VII,



wherein X represents O or  $N(R^{12})$  and  $R^6$ ,  $R^7$ ,  $R^{12}$  and B are as defined in Claim 1;

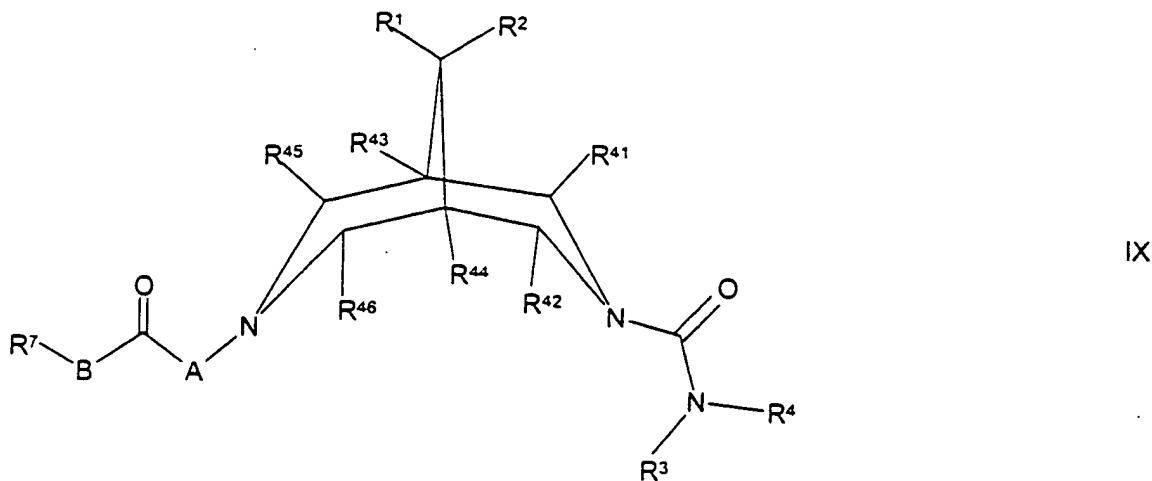
(e) reaction of a compound of formula VI, as defined above, with a compound of formula VIII,



VIII

C2  
wherein L<sup>2</sup> represents a leaving group and R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, A and B are as defined in  
Claim 1;

(f) for compounds of formula I in which R<sup>5</sup> represents H or OH and R<sup>6</sup> represents  
H, reduction of a compound of formula IX,

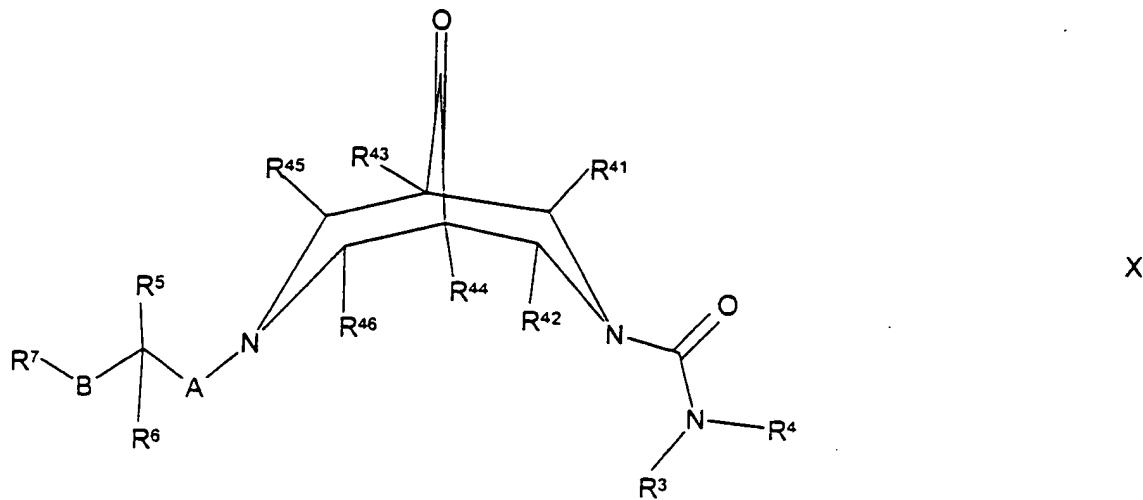


IX

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>7</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, A and B are as defined in  
Claim 1;

(g) for compounds of formula I in which one of R<sup>1</sup> and R<sup>2</sup> represents H or OH and

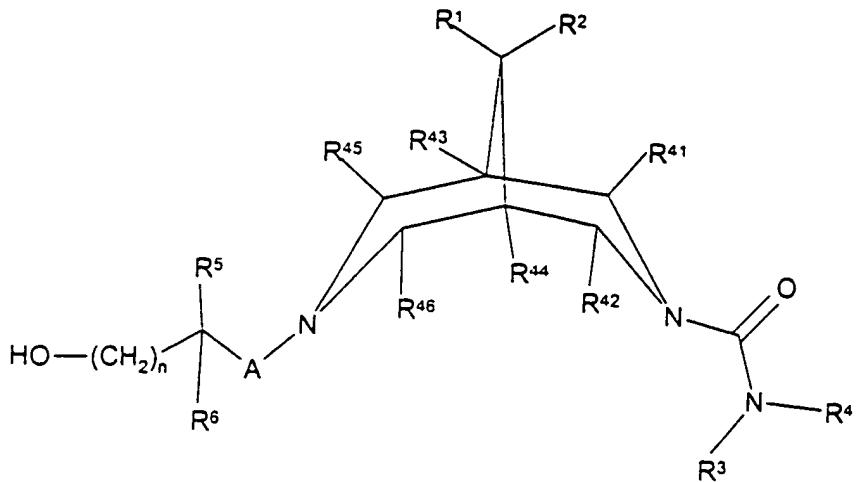
the other represents H, reduction of a corresponding compound of formula X,



wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, A and B are as defined in Claim 1;

(h) for compounds of formula I in which R<sup>1</sup> and R<sup>2</sup> together represent -O(CH<sub>2</sub>)<sub>2</sub>O-, reaction of a corresponding compound of formula X as defined above with ethane-1,2-diol;

(i) for compounds of formula I in which B represents -(CH<sub>2</sub>)<sub>n</sub>O-, reaction of a compound of formula XI,



XI

C2

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, A and n are as defined in Claim 1, with a compound of formula XIA,

R<sup>7</sup>OH

XIA

in which R<sup>7</sup> is as defined in Claim 1;

(j) for compounds of formula I which are bispidine-nitrogen N-oxide derivatives, oxidation of the corresponding bispidine nitrogen of a corresponding compound of formula I;

(k) for compounds of formula I which are C<sub>1-4</sub> alkyl quaternary ammonium salt derivatives, in which the alkyl group is attached to a bispidine nitrogen, reaction, at the bispidine nitrogen, of a corresponding compound of formula I with a

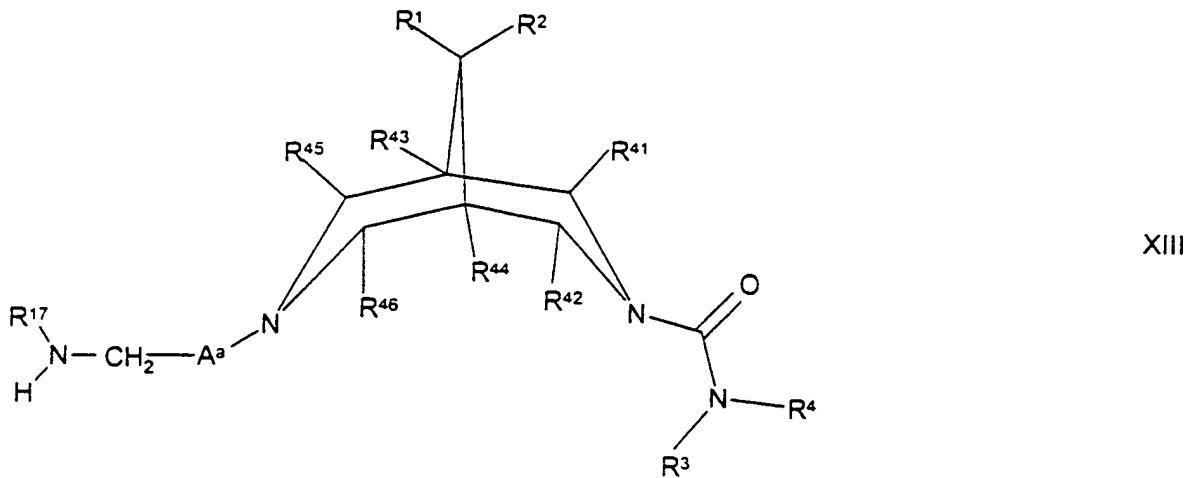
compound of formula XII,



wherein R<sup>b</sup> represents C<sub>1-4</sub> alkyl and L<sup>3</sup> is a leaving group;

(1) for compounds of formula I in which R<sup>5</sup> and R<sup>6</sup> represent H, A represents C<sub>1.6</sub> alkylene and B represents -N(R<sup>17</sup>)(CH<sub>2</sub>)<sub>n</sub>-, reaction of a compound of formula XIII,

C<sup>2</sup>

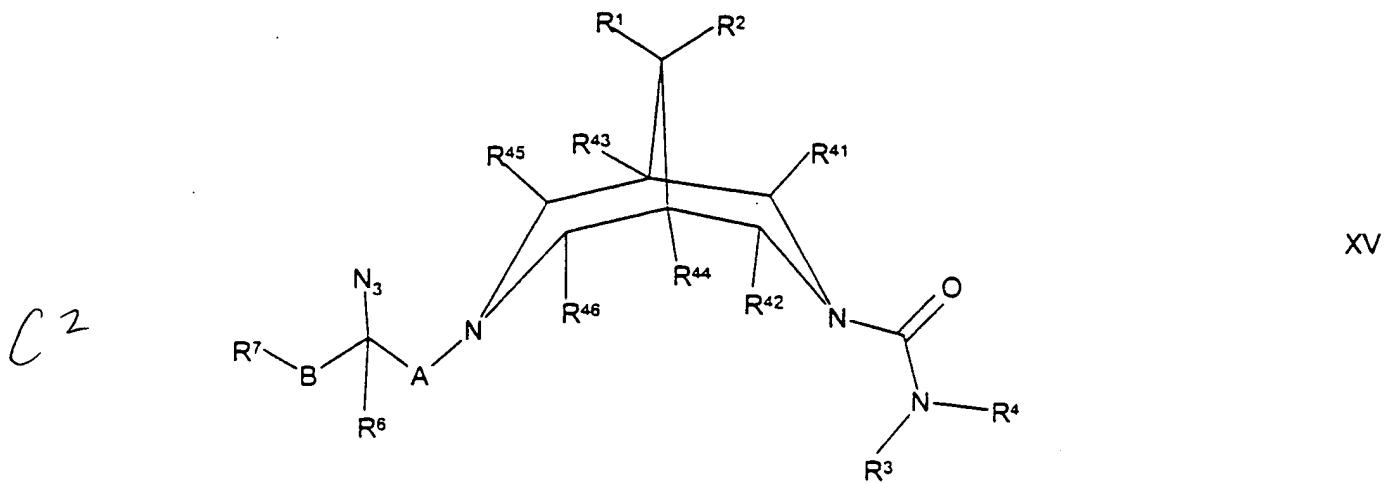


wherein A<sup>a</sup> represents C<sub>1-6</sub> alkylene and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> and R<sup>17</sup> are as defined in Claim 1 with a compound of formula XIV,



wherein  $L^2$  is as defined above and  $R^7$  and  $n$  are as defined in Claim 1;

(m) for compounds of formula I in which R<sup>5</sup> represents -NH<sub>2</sub>, reduction of a corresponding compound of formula XV,



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, A and B are as defined in Claim 1;

(n) for compounds of formula I in which R<sup>5</sup> represents -N(R<sup>13</sup>)C(O)NH(R<sup>15</sup>), reaction of a corresponding compound of formula I in which R<sup>5</sup> represents -N(R<sup>13</sup>)H with a compound of formula XVI,



wherein R<sup>15</sup> is as defined in Claim 1;

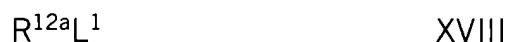
(o) for compounds of formula I in which R<sup>5</sup> represents -N(R<sup>13</sup>)C(O)R<sup>14</sup>, reaction of a corresponding compound of formula I in which R<sup>5</sup> represents -N(R<sup>13</sup>)H with a

compound of formula XVII,



wherein  $R^x$  represents a suitable leaving group and  $R^{14}$  is as defined in Claim 1;

(p) for compounds of formula I in which  $R^5$  represents  $-N(H)R^{12}$ , wherein  $R^{12}$  is as defined in Claim 1 provided that it does not represent H, reaction of a corresponding compound of formula I, in which  $R^5$  represents  $-NH_2$  with a compound of formula XVIII,



wherein  $R^{12a}$  represents  $R^{12}$  as defined in Claim 1 provided that it does not represent H and  $L^1$  is as defined above;

(q) for compounds of formula I in which  $R^5$  represents  $-OR^{12}$  in which  $R^{12}$  represents  $C_{1-6}$  alkyl or optionally substituted aryl, reaction of a corresponding compound of formula I in which  $R^5$  represents  $-OH$  with a compound of formula XIX,

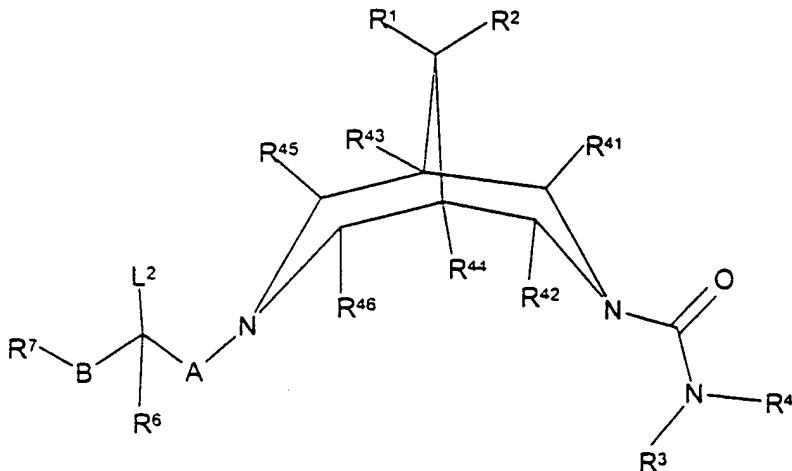


wherein  $R^{12a}$  represents  $C_{1-6}$  alkyl or optionally substituted aryl;

(r) for compounds of formula I in which  $R^5$  represents  $-OR^{12}$ , in which  $R^{12}$  represents  $C_{1-6}$  alkyl or optionally substituted aryl, reaction of a compound of formula XX,

C2

XX



wherein  $L^2$  is as defined above and  $R^1, R^2, R^3, R^4, R^6, R^7, R^{41}, R^{42}, R^{43}, R^{44}, R^{45}, R^{46}$ , A and B are as defined in Claim 1 with a compound of formula XIX as defined above;

(s) for compounds of formula I in which  $R^5$  represents  $OR^{12}$  and  $R^{12}$  represents  $C(O)R^{14}$ , reaction of a corresponding compound of formula I in which  $R^5$  represents OH with a compound of formula XXI,

$R^{14}CO_2H$

XXI

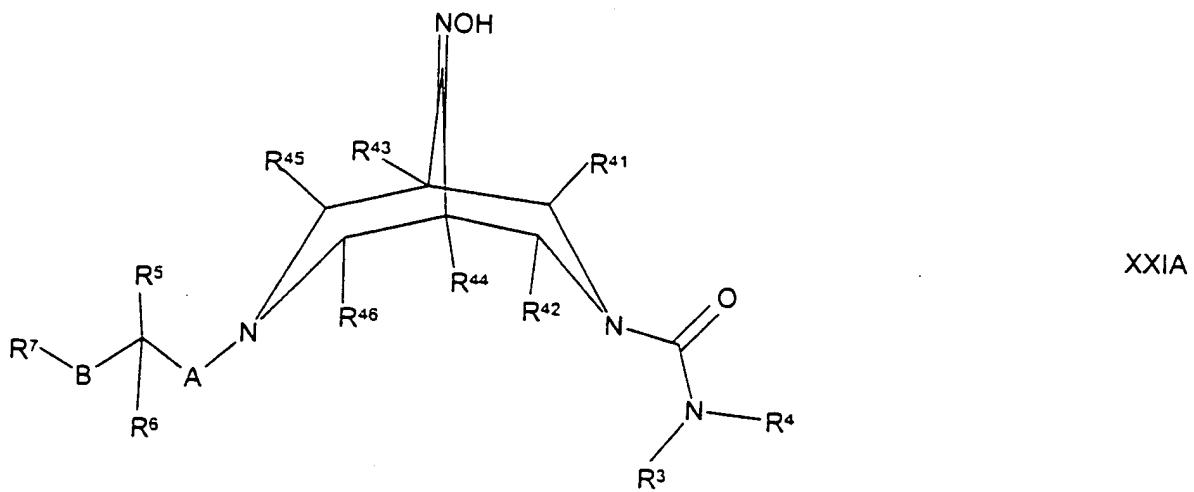
wherein  $R^{14}$  is as defined in Claim 1;

(t) for compounds of formula I in which  $R^5$  represents halo, substitution of a corresponding compound of formula I in which  $R^5$  represents  $\text{-OH}$ , using an appropriate halogenating agent;

(u) for compounds of formula I in which  $R^3$  and/or  $R^4$  as appropriate represent alkyl groups, alkylation of a corresponding compound of formula I, in which  $R^3$  and/or  $R^4$  (as appropriate) represent H;

(v) conversion of one  $R^4$  group to another;

(w) for compounds of formula I in which one of  $R^2$  and  $R^3$  represents  $\text{-NH}_2$  and the other represents H, reduction of a compound of formula XXIA,



wherein  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^{41}$ ,  $R^{42}$ ,  $R^{43}$ ,  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ , A and B are as defined in

Claim 1;

(x) for compounds of formula I in which one or both of R<sup>1</sup> and R<sup>2</sup> represent N(R<sup>2c</sup>)R<sup>2d</sup> in which one or both of R<sup>2c</sup> and R<sup>2d</sup> represents C<sub>1-6</sub> alkyl, alkylation of a corresponding compound of formula I in which R<sup>1</sup> and/or R<sup>2</sup> represent -N(R<sup>2c</sup>)R<sup>2d</sup> (as appropriate) in which R<sup>2c</sup> and/or R<sup>2d</sup> (as appropriate) represent H, using a compound of formula XXIB,

R<sup>2e</sup>L<sup>1</sup>

XXIB

C 2

wherein R<sup>2e</sup> represents C<sub>1-6</sub> alkyl and L<sup>1</sup> is as defined above; or

(y) conversion of one substituent on R<sup>7</sup> to another.

26. (Amended) A compound of formula II, as defined in Claim 25, provided that R<sup>7</sup> does not represent optionally substituted phenyl.

27. (Amended) A compound of formula V, as defined in Claim 25, provided that R<sup>7</sup> does not represent optionally substituted phenyl.

28. (Amended) A compound of formula X as defined in Claim 25.

29. (Amended) A compound of formula XI as defined in Claim 25.

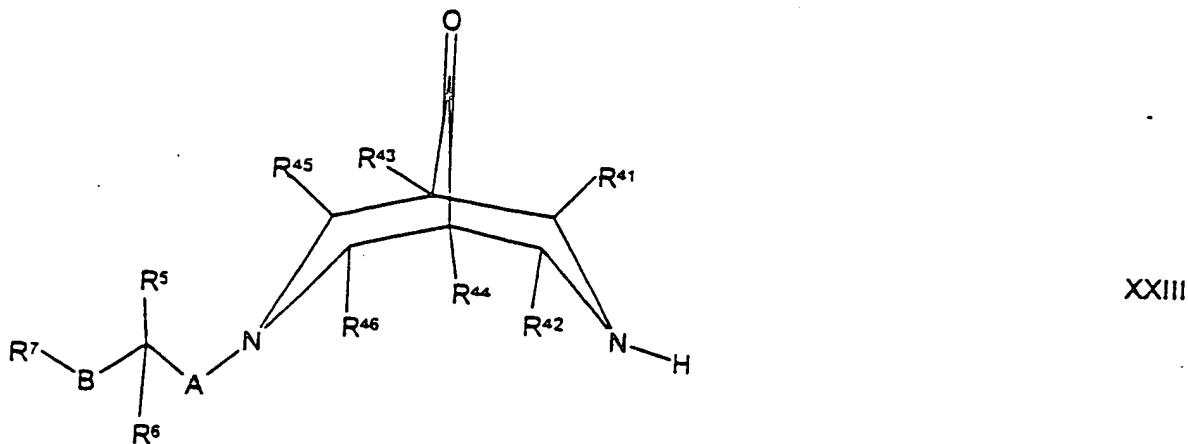
30. (Amended) A compound of formula XIII, as defined in Claim 25.

C 2

31. (Amended) A compound of formula XV, as defined in Claim 25.

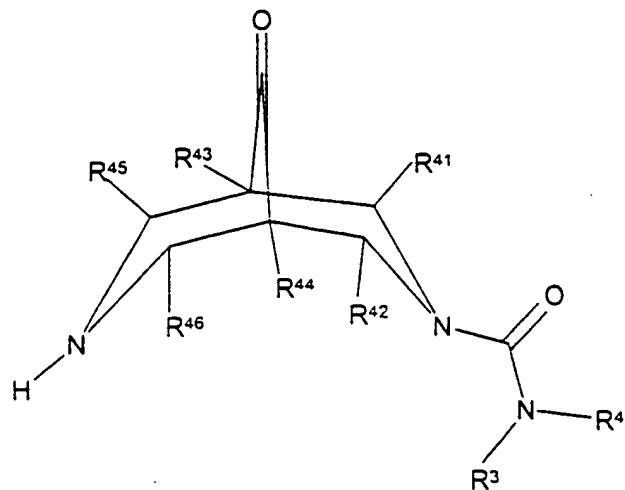
32. (Amended) A compound of formula XX, as defined in Claim 25.

33. (Twice Amended) A compound of formula XXIII,



wherein R<sup>5</sup>, R<sup>6</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, A and B are as defined in Claim 1, R<sup>7</sup> represents aryl or Het<sup>2</sup>, all of which groups are optionally substituted and/or terminated (as appropriate) by one or more substituents selected from -OH, cyano, halo, amino, nitro, Het<sup>3</sup>, -C(O)R<sup>10</sup>, C(O)OR<sup>11</sup>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, -N(H)S(O)<sub>2</sub>R<sup>18</sup>, -S(O)<sub>2</sub>R<sup>19</sup>, -OS(O)<sub>2</sub>R<sup>20</sup>, -N(H)C(O)N(H)R<sup>21</sup>, -C(O)N(H)R<sup>22</sup> and/or aryl (which latter group is optionally substituted by one or more cyano groups); provided that R<sup>7</sup> does not represent optionally substituted phenyl.

34. (Amended) A compound of formula XXV,

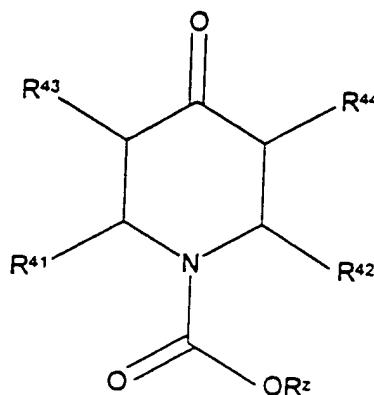


XXV

wherein R<sup>3</sup>, R<sup>4</sup>, R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup> and R<sup>46</sup> are as defined in Claim 1.

35. (Amended) A process for the preparation of a compound of formula X, of formula XXIII, or of formula XXV (in which, in all cases, R<sup>45</sup> and R<sup>46</sup> both represent H), which comprises (as appropriate) reaction of either:

(i) a compound of formula XXXV,



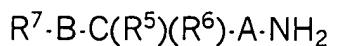
XXXV

C4

wherein R<sup>z</sup> represents C<sub>1-10</sub> alkyl or C<sub>1-3</sub> alkylaryl and R<sup>41</sup>, R<sup>42</sup>, R<sup>43</sup> and R<sup>44</sup> are as defined in Claim 1, or

(ii) 4-piperidone with (as appropriate) either:

(1) a compound of formula XXXVI,



XXXVI

wherein R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, A and B are as defined in Claim 1, or

(2) NH<sub>3</sub>,

in all cases in the presence of a formaldehyde and, in the case of compounds of formulae X and XXV, followed by conversion of the C(O)OR<sup>z</sup> group in the resultant intermediate to a C(O)N(R<sup>3</sup>)(R<sup>4</sup>) group.